



## PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT  
(PCT Article 36 and Rule 70)

Applicant's or agent's file reference A-156132	<b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/416)	
International application No. PCT/IB 03/03540	International filing date ( <i>day/month/year</i> ) 05.08.2003	Priority date ( <i>day/month/year</i> ) 07.08.2002
International Patent Classification (IPC) or both national classification and IPC C07D249/08		
Applicant LABORATORIOS VITA, S.A. et al.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 5 sheets, including this cover sheet.
- ☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).
- These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:
- I ☒ Basis of the opinion
  - II ☐ Priority
  - III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
  - IV ☐ Lack of unity of invention
  - V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
  - VI ☐ Certain documents cited
  - VII ☐ Certain defects in the international application
  - VIII ☐ Certain observations on the international application

Date of submission of the demand  01.03.2004	Date of completion of this report  11.05.2004
Name and mailing address of the international preliminary examining authority:   European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer  Kyriakakou, G  Telephone No. +49 89 2399-7835  

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT**

International application No. **PCT/IB 03/03540**

**I. Basis of the report**

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

**Description, Pages**

1-22 as originally filed

**Claims, Numbers**

1-13 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).  
☐ the language of publication of the international application (under Rule 48.3(b)).  
☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.  
☐ filed together with the international application in computer readable form.  
☐ furnished subsequently to this Authority in written form.  
☐ furnished subsequently to this Authority in computer readable form.  
☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.  
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:  
☐ the claims, Nos.:  
☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

*(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)*

6. Additional observations, if necessary:

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**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability;  
citations and explanations supporting such statement**

**1. Statement**

Novelty (N)	Yes: Claims	1-13
	No: Claims	
Inventive step (IS)	Yes: Claims	1-13
	No: Claims	
Industrial applicability (IA)	Yes: Claims	1-13
	No: Claims	

**2. Citations and explanations**

**see separate sheet**

**Re Item V**

**Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

**1. Reference is made to the following documents**

D1:WO-A-0134561  
D2:WO-A-9806725  
D3:WO-A-9402476  
D4: EP-A-497512  
D5:WO9532197  
D6:ES-A-2033577  
D7:ES-A-2033578

**2. Novelty**

**2.1** The present claims 1-7 and the prior art documents D1-D5 relate to a process for preparing 5-triazolyltryptamine derivatives by a multistep reaction wherein the main step is the condensation of an aniline or phenylhydrazine derivative with a carbonyl or an acetylenic compound. The main difference is the use of  $\alpha$ -keto-d-valerolactone as starting carbonyl compound

**2.2** The prior art documents D6 and D7 disclose a process for the preparation of 5-methanesulfonamidotryptamine derivatives by condensation of phenylhydrazine derivative with  $\alpha$ -keto-d-valerolactone.

**2.3** The intermediate compounds subject matter of the claims 8-13 on file differ from the corresponding compounds disclosed in the prior art documents D5 and D6 by the 1,2,4 triazolo group or the carboxy group

The subject matter of the present claims 1-13 can therefore be considered to be novel.

**3. Inventive step.**

**3.1** The object of the present invention is to provide a process for the preparation of 5-triazolyltryptamine derivatives (I).

**3.2** The prior art documents D6 and D7 which disclose analogous processes for the preparation of 5-sulfonamidotryptamine derivatives are considered to be especially relevant.

**3.3** The experimental data comprised in the application show that the present invention provides an efficient process for the preparation of (I). Furthermore due to the structural

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difference of the 5-substituent (triazole vs sulfonamido group) the person skilled in the art would not have considered obvious to apply the teaching of D6 and D7 with an expectation of high yield and purity to 5-triazoloanilines or phenylhydrazines.

Moreover the claimed process avoids the use of toxic and flammable reagents used in the prior art for the preparation of 5-triazolotryptamine derivatives (D1-D5). An inventive step can therefore be acknowledged.